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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	NOV 23	Addition of SCAN format to selected STN databases
NEWS 11	NOV 23	Annual Reload of IFI Databases
NEWS 12	DEC 01	FRFULL Content and Search Enhancements
NEWS 13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS 18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS 19	JAN 25	Annual Reload of MEDLINE database

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

*GEOREF - Geological Reference File 1785-present

* The files listed above are temporarily unavailable.

FILE 'HOME' ENTERED AT 10:27:07 ON 25 JAN 2010

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.22 0.22

FILE 'REGISTRY' ENTERED AT 10:27:19 ON 25 JAN 2010
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9
DICTIONARY FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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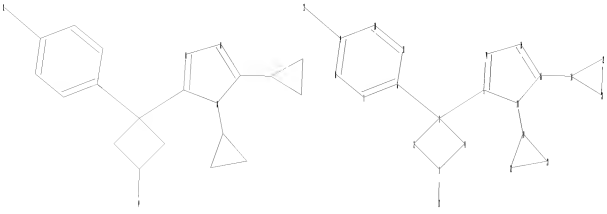
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10587110_01252010_1.str



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12  13
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  14  15  16  17  18  19  20  21  22  23
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1-13  3-5  3-6  9-12  16-18  17-19
ring bonds :
1-2  1-4  2-3  3-4  5-14  5-17  6-7  6-11  7-8  8-9  9-10  10-11  14-15  15-16
16-17  18-22  18-23  19-20  19-21  20-21  22-23
exact/norm bonds :
1-2  1-4  2-3  3-4  5-14  5-17  14-15  15-16  16-17  17-19  18-22  18-23  19-20
19-21  20-21  22-23
exact bonds :
1-13  3-5  3-6  9-12  16-18
normalized bonds :
6-7  6-11  7-8  8-9  9-10  10-11


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  22:Atom  23:Atom



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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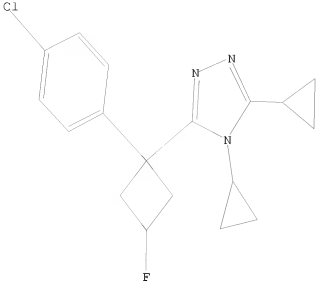

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sam
SAMPLE SEARCH INITIATED 10:27:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        2 TO ITERATE

100.0% PROCESSED        2 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            2 TO      124
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:28:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       71 TO ITERATE

100.0% PROCESSED       71 ITERATIONS                                8 ANSWERS
SEARCH TIME: 00.00.01

L3            8 SEA SSS FUL L1

=> s l8 and caplus/lc
L8 NOT FOUND
The L-number entered could not be found.  To see the definition
of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l3 and caplus/lc
      69979096 CAPLUS/LC
L4            8 L3 AND CAPLUS/LC

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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FULL ESTIMATED COST 197.53 197.75

FILE 'CAPLUS' ENTERED AT 10:28:30 ON 25 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

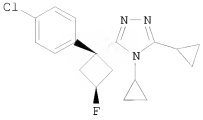
http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L5 6 L3

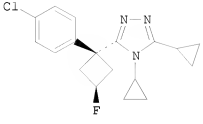
=> d l5 ibib gi abs hitstr 1-6

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:668239 CAPLUS
DOCUMENT NUMBER: 149:200844
TITLE: Phenylcyclobutyl triazoles as selective inhibitors of 11β-hydroxysteroid dehydrogenase type I
AUTHOR(S): Zhu, Yuping; Olson, Steven H.; Graham, Donald; Patel, Gool; Hermanowski-Vosatka, Anne; Mundt, Steven; Shah, Kashmira; Springer, Marty; Thieringer, Rolf; Wright, Samuel; Xiao, Jianying; Zokian, Hratch; Dragovic, Jasminka; Balkovec, James M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3412-3416
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:200844
GI



I

GI



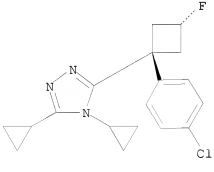
I

AB 3-(Phenylcyclobutyl)-1,2,4-triazoles were identified as selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1). These were active both in vitro and in an in vivo mouse pharmacodynamic (PD) model. Fluorine substitution of the cyclobutane ring, e.g., I, improved the pharmacokinetic profile significantly. The synthesis and structure-activity relationships are presented.

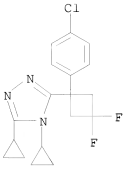
IT 1041867-35-9 1041867-36-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of triazole derivs. via cyclocondensation of acyl hydrazines with imine or amide, and their type I 11β-hydroxysteroid dehydrogenase inhibitory activity and SAR)

RN 1041867-35-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-[cis-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.

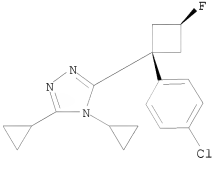


RN 1041867-36-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[1-(4-chlorophenyl)-3,3-difluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)



IT 633317-53-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of triazole derivs. via cyclocondensation of acyl hydrazines with imine or amide, and their type I 11β-hydroxysteroid dehydrogenase inhibitory activity and SAR)
RN 633317-53-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:383553 CAPLUS
DOCUMENT NUMBER: 146:401979
TITLE: A process for producing 1,2,4-triazoles via heterocyclization of cyclobutyl hydrazides with amides in the presence of POCl3
INVENTOR(S): Zhao, Matthew Mangzhu
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 20pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2007038452 A1 20070405 WO 2006-US37323 20060922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2005-721438P P 20050928
OTHER SOURCE(S): CASREACT 146:401979; MARPAT 146:401979
GI

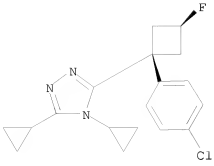
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for production of 1,2,4-triazoles I. I are inhibitors of the 11-beta-HSD1 enzyme, useful for the treatment of type 2 diabetes, metabolic syndrome, obesity, hypertension, and related conditions. In compds. I, m and n are 0 to 3; R1 is OH, halo, (un)substituted alk(yl|oxy) or aryl; R2 is halo, (un)substituted C1-14 alkyl, C2-10 alkenyl, or (S|O)C1-6 alkyl; R3 is (un)substituted alk(en)yl, Ph, pyridyl, and cycloalkyl etc.; R4 is (un)substituted alk(yl|enyl), (hetero)aryl, and (hetero)cyclyl etc. For instance, α-cyclization of 4-chlorophenylacetic acid with epichlorohydrin followed by esterification, fluorination, and substitution with hydrazine monohydrate produced the hydrazide intermediate II. Amidation of cyclopropylamine with cyclopropylcarbonyl chloride produced the amide intermediate III. The invention compound IV was then prepared by heterocyclization of II with III using POCl3 as the activating agent.
IT 633317-53-0P 862158-94-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(drug candidate; preparation of triazole derivs. as inhibitors of 11-beta-HSD1 enzyme)
RN 633317-53-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.

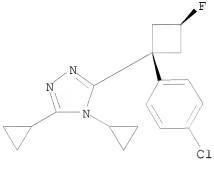


RN 862158-94-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 633317-53-0
CMF C18 H19 Cl F N3

Relative stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:732626 CAPLUS
DOCUMENT NUMBER: 143:216655
TITLE: Crystalline forms of an inhibitor of 11β-hydroxysteroid dehydrogenase type 1

INVENTOR(S): Bereznitski, Yuri; Huffman, Mark A.; Lynch, Joseph E.; Zhao, Matthew
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073200	A1	20050811	WO 2005-US1928	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005207925	A1	20050811	AU 2005-207925	20050121
AU 2005207925	B2	20080904		
CA 2553345	A1	20050811	CA 2005-2553345	20050121
EP 1711477	A1	20061018	EP 2005-711768	20050121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1910161	A	20070207	CN 2005-80003124	20050121
JP 2007519726	T	20070719	JP 2006-551299	20050121
IN 2006DN04108	A	20070622	IN 2006-DN4108	20060717
US 20090186928	A1	20090723	US 2006-587110	20060724

PRIORITY APPLN. INFO.: US 2004-539206P P 20040126
WO 2005-US1928 W 20050121

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

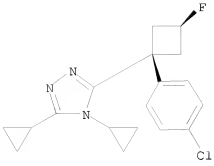
AB Novel crystalline salts of 3-[1-(4-chlorophenyl)-trans-3-fluorocyclobutyl]-4,5-dicyclopropyl-r-4H-1,2,4-triazole (I) are potent inhibitors of 11β-hydroxysteroid dehydrogenase Type 1 and are useful for the treatment of conditions associated with metabolic syndrome as well as cognitive impairment. The invention also relates to pharmaceutical compns. containing these novel salts, processes to prepare these salts and their pharmaceutical compns. as well as uses thereof for the treatment of Type 2 diabetes, hyperglycemia, obesity, dyslipidemia, hypertension, and cognitive impairment. Thus, I was prepared in a series of steps and converted to a crystalline anhydrous form.

IT 633317-53-0P 862158-90-5P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)

RN 633317-53-0 CAPLUS

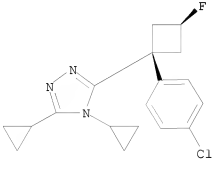
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 862158-90-5 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, hydrate (1:1) (CA INDEX NAME)

Relative stereochemistry.



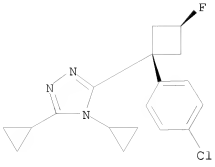
● H_2O

IT 862158-91-6
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)
RN 862158-91-6 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, compd. with methylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 633317-53-0
CMF C18 H19 Cl F N3

Relative stereochemistry.



CM 2

CRN 108-88-3
CMF C7 H8

IT 862158-94-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)
RN 862158-94-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, sulfate (1:1) (CA INDEX NAME)

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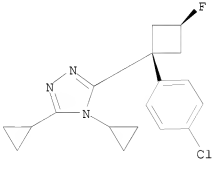
CRN 633317-53-0
CMF C18 H19 Cl F N3

Relative stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1124587 CAPLUS
DOCUMENT NUMBER: 142:69188
TITLE: Combination therapy for the treatment of diabetes
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		
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EP 1635832	A2	20060322	EP 2004-753999	20040602
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US 20070099884	A1	20070503	US 2005-559206	20051202
PRIORITY APPLN. INFO.:			US 2003-476388P P	20030606
			WO 2004-US17291 W	20040602

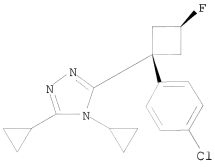
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:69188

AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.

IT 633317-53-0 812693-66-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)

RN 633317-53-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 812693-66-6 CAPLUS
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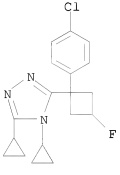

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:991491 CAPLUS
DOCUMENT NUMBER: 140:27832
TITLE: Preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia
INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104208	A1	20031218	WO 2003-US17890	20030606

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PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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CA 2488592	A1	20031218	CA 2003-2488592		20030606
AU 2003251410	A1	20031222	AU 2003-251410		20030606
AU 2003251410	B2	20090521			
EP 1532122	A1	20050525	EP 2003-757385		20030606
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CN 1312137	C	20070425			
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US 20040048912	A1	20040311	US 2003-457682		20030609
US 6730690	B2	20040504			
US 20040106664	A1	20040603	US 2003-697547		20031030
US 7179802	B2	20070220			
ZA 2004008772	A	20051118	ZA 2004-8772		20041029
PRIORITY APPLN. INFO.:			US 2002-387385P	P	20020610
			CN 2003-813392	A3	20030606
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OTHER SOURCE(S): MARPAT 140:27832

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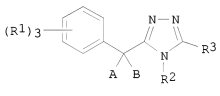

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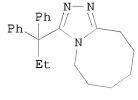

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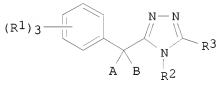

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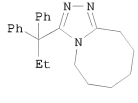


II

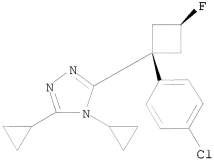
AB Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or A, B = taken together are (un)substituted alkylene; R1 = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkenyl, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together fused 5-6-membered alkyl/aryl ring] are prepared For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, Et3N, TFFH, H2NNH2, 0°, 30 min). 8-Methoxy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120°, overnight) to give II. Example compds. exhibit IC50 < 500 nM for 11β-hydroxysteroid dehydrogenase-1

(11β-HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dylsipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms associated with NIDDM.

IT 633317-53-0P 633317-54-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)

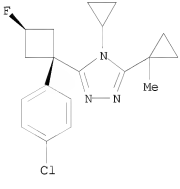
RN 633317-53-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 633317-54-1 CAPLUS
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4-cyclopropyl-5-(1-methylcyclopropyl)- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:991490 CAPLUS
DOCUMENT NUMBER: 140:27831
TITLE: Preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia
INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping
PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104207	A2	20031218	WO 2003-US17898	20030606
WO 2003104207	A3	20040325		
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CN 1990474	A	20070704	CN 2007-10003770	20030606
RU 2319703	C2	20080320	RU 2004-139063	20030606
US 20040048912	A1	20040311	US 2003-457682	20030609
US 6730690	B2	20040504		
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US 7179802	B2	20070220		
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NO 2005000102	A	20050210	NO 2005-102	20050107
HK 1081946	A1	20071207	HK 2006-102016	20060216

PRIORITY APPLN. INFO.:
US 2002-387385P P 20020610
CN 2003-813392 A3 20030606
WO 2003-US17898 W 20030606
US 2003-457682 A3 20030609

OTHER SOURCE(S): MARPAT 140:27831

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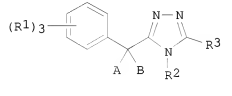

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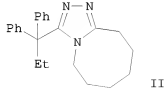

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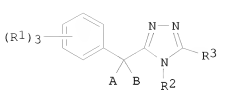

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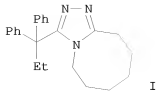


II

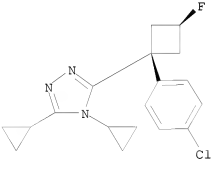
AB Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or A, B = taken together are (un)substituted alkylene; R1 = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkenyl, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together fused 5-6-membered alkyl/aryl ring] are prepared For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, Et3N, TFFH, H2NNH2, 0°, 30 min). 8-Methoxy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120°, overnight) to give II. Example compds. exhibit IC50 < 500 nM for 11β-hydroxysteroid dehydrogenase-1 (11β-HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dylsipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms associated with NIDDM.

IT 633317-53-0P 633317-54-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)

RN 633317-53-0 CAPLUS

CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

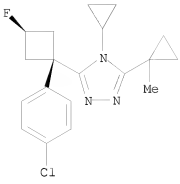
Relative stereochemistry.



RN 633317-54-1 CAPLUS

CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4-cyclopropyl-5-(1-methylcyclopropyl)- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
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L3 8 SEA FILE=REGISTRY SSS FUL L1
L4 8 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3 AND CAPLUS/LC

FILE 'CAPLUS' ENTERED AT 10:28:30 ON 25 JAN 2010
L5 6 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L3
D L5 IBIB GI ABS HITSTR 1-6

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	35.36	233.11
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.10	-5.10

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:29:08 ON 25 JAN 2010